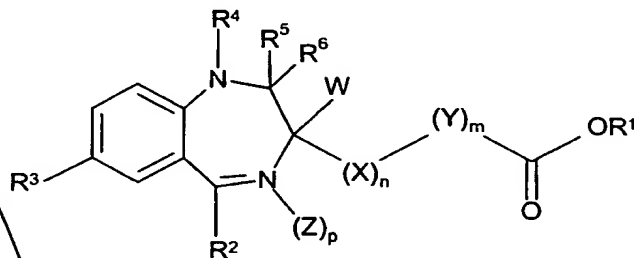


What is claimed is:

1. A compound of formula (I):



Formula (I)

wherein

W is H, a C₁-C₄ branched alkyl, or a straight chained alkyl;

X is CH₂, NH, or NCH₃; n is 1 or 2;

Y is O or CH₂; m is 0 or 1, provided that if X is CH₂, n is 1 and m is 0, then R¹ is not CH₂CH₃;

Z is O; p is 0 or 1;

R¹ is H, a C₁-C₇ straight chain alkyl, a C₃-C₇ branched chain alkyl, a C₁-C₄ haloalkyl, a C₃-C₇ cycloalkyl, an aryl, a heteroaryl, an aralkyl, or a heteroaralkyl;

R² is phenyl, 2-halophenyl or 2-pyridyl;

R³ is H, Cl, Br, F, I, CF₃, or NO₂;

(1) R⁴ is H, a C₁-C₄ alkyl, or a dialkylaminoalkyl and R⁵ and R⁶ together represent a single oxygen or S atom which is linked to the diazepine ring by a double bond and p is zero or 1; or (2) R⁴ and R⁵ together is a double bond in the diazepine ring and R⁶ represents the group NHR⁷ wherein R⁷ is H, C₁₋₄ alkyl, C₁₋₄ hydroxyalkyl, benzyl or benzyl mono or disubstituted independently with halogen substituents, C₁₋₄alkylpyridyl or C₁₋₄ alkylimidazolyl and p is zero;

or (3) R⁴, R⁵ and R⁶ form the group -CR⁸=U-V= wherein R⁸ is hydrogen, C₁₋₄ alkyl or C₁₋₃ hydroxyalkyl, U is N or CR⁹ wherein R⁹ is H, C₁₋₄alkyl, C₁₋₃hydroxyalkyl or C₁₋₄alkoxy, C₁₋₄alkyl, V is N or CH and p is zero; or

pharmaceutically acceptable salts and or solvates thereof.

2. A compound according to claim 1 wherein

W is H;

X is CH₂ or NH; n is 1;

Y is CH₂; m is 0 or 1, provided that if X is CH₂, n is 1 and m is 0, then R¹ is not CH₂CH₃;

Z is O; p is 0 or 1;

R¹ is H, CH₃, CH₂CH₃, (CH₂)₂CH₃, (CH₂)₃CH₃, CH₂(CH₃)₂, CH₂CH(CH₃)₂, C(CH₃)₃, benzyl, 4-pyridylmethyl or 3-pyridylmethyl;

R² is phenyl, 2-fluorophenyl, 2-chlorophenyl, or 2-pyridyl;

R³ is Cl, Br or NO₂;

R⁴ is H, CH₃ or CH₂CH₂N(CH₂CH₃)₂;

R⁵ and R⁶ together are either O or S; or pharmaceutically acceptable salts and solvates thereof.

3. A compound according to claim 1 wherein

W is H;

X is CH₂ or NH; n is 1;

Y is CH₂; m is 1;

p is 0;

R¹ is H, CH₃, CH₂CH₃, (CH₂)₂CH₃, (CH₂)₃CH₃, CH₂(CH₃)₂, CH₂CH(CH₃)₂, C(CH₃)₃, benzyl, 4-pyridylmethyl or 3-pyridylmethyl; provided that if R¹ is 3-pyridylmethyl or 4-pyridylmethyl, then X is CH₂, n is 1, Y is CH₂, m is 0 or 1, R² is 2-fluorophenyl, R³ is Cl, R⁴ is H and R⁵ and R⁶ together are O;

R² is phenyl, 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,

R³ is Cl, Br or NO₂;

R⁴ is H, CH₃ or CH₂CH₂N(CH₂CH₃)₂; provided that when R⁴ is CH₂CH₂N(CH₂CH₃)₂, then X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃ or benzyl, R² is 2-fluorophenyl, R³ is Cl and R⁵ and R⁶ together is O;

R⁵ and R⁶ together are O or S; or

pharmaceutically acceptable salts and solvates thereof.

4. A compound according to claim 1 wherein

W is H;

X is CH₂ or NH; n is 1;

Y is CH₂; m is 0 or 1, provided that if X is CH₂ and m is 0, then R¹ is not CH₂CH₃;

p is 0;

R¹ is CH₃, CH₂CH₃, (CH₂)₂CH₃, (CH₂)₃CH₃, CH₂(CH₃)₂, CH₂CH(CH₃)₂, C(CH₃)₃,

benzyl or 4-pyridylmethyl;

R² is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,

R³ is Cl, Br, or NO₂;

R⁴ is H, CH₃ or CH₂CH₂N(CH₂CH₃)₂;

R⁵ and R⁶ together is O or S; or

pharmaceutically acceptable salts and solvates thereof.

5. A compound according to claim 1 wherein

W is H;

X is CH₂ or NH; n is 1;

Y is CH₂; m is 0 or 1, provided that if X is CH₂ and m is 0, then R¹ is not CH₂CH₃;

p is 0;

R¹ is CH₃, CH₂CH₃, (CH₂)₂CH₃, (CH₂)₃CH₃, CH₂(CH₃)₂, CH₂CH(CH₃)₂, C(CH₃)₃,

benzyl or 4-pyridylmethyl; provided that when R¹ is 4-pyridylmethyl, then X is CH₂,

n is 1, Y is CH₂, m is 1, R² is 2-fluorophenyl, R³ is Cl, R⁴ is H and R⁵ and R⁶ together is O;

R² is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,

R³ is Cl, Br or NO₂;

R⁴ is H, CH₃ or CH₂CH₂N(CH₂CH₃)₂; provided that when R⁴ is CH₂CH₂N(CH₂CH₃)₂,

then X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃ or benzyl, R² is 2-fluorophenyl, R³ is

Cl and R⁵ and R⁶ together is O;

R⁵ and R⁶ together are O or S; or

pharmaceutically acceptable salts and solvates thereof.

6 A compound according to claim 1 wherein in each compound W is H and wherein X, n, Y, Z, p and R¹⁻⁶ for each compound are as follows:

X	n	Y	m	Z	p	R ¹	R ²	R ³	R ⁴	R ⁵ R ⁶
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	--	0	--	0	CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Br	H	O
CH ₂	1	CH ₂	1	--	0	benzyl	2-fluorophenyl	Cl	H	O
CH ₂	1	--	0	--	0	benzyl	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-chlorophenyl	Cl	H	O
CH ₂	1	CH ₂	2	--	0	CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	benzyl	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-pyridyl	Br	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	2	--	0	C(CH ₃) ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	NO ₂	H	O
CH ₂	1	CH ₂	1	--	0	(CH ₂) ₂ CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₂ CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	4-pyridyl-methyl	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	(CH ₂) ₃ CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	(CH ₂) ₃ CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₂ CH ₂ (CH ₃) ₂	2-pyridyl	Cl	H	O
CH ₂	1	--	0	--	0	CH ₂ CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH(CH ₃) ₂	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Cl	CH ₂ CH ₂ N-(CH ₂ CH ₃) ₂	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Cl	CH ₃	O
CH ₂	1	--	0	--	0	benzyl	2-fluorophenyl	Cl	CH ₃	O
CH ₂	1	CH ₂	1	--	0	benzyl	2-fluorophenyl	Cl	CH ₂ CH ₂ N-(CH ₂ CH ₃) ₂	O

Sub
A7

1. A compound according to claim 1 wherein in each compound W is H and wherein X, n, Y, Z, p and R¹⁻⁶ for each compound are as follows:

Sub A7

X	n	Y	m	Z	p	R ¹	R ²	R ³	R ⁴	R ⁵ R ⁶
NH	1	CH ₂	1	--	0	CH ₃	2-chlorophenyl	Cl	H	O
NH	1	CH ₂	2	--	0	CH ₃	2-chlorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Cl	H	S
CH ₂	1	CH ₂	1	--	0	CH ₃	2-chlorophenyl	Cl	H	S
CH ₂	1	CH ₂	1	--	0	CH ₃	2-pyridyl	Cl	H	S
CH ₂	1	CH ₂	1	O	1	CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	benzyl	phenyl	NO ₂	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	H	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-pyridyl	NO ₂	H	O
CH ₂	1	CH ₂	1	--	0	benzyl	2-pyridyl	NO ₂	H	O
CH ₂	1	CH ₂	1	--	0	benzyl	2-fluorophenyl	H	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	phenyl	NO ₂	H	O
NH	1	CH ₂	2	--	0	(CH ₂) ₃ CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	--	0	--	0	3-pyridyl-methyl	2-fluorophenyl	Cl	H	O
CH ₂	1	--	0	--	0	4-pyridyl-methyl	2-fluorophenyl	Cl	H	O

7. A compound according to claim 1 wherein in each compound W is H and wherein X, n, Y, m, Z, p and R¹⁻⁶ for each compound are as follows:

5

X	n	Y	m	Z	p	R ¹	R ²	R ³	R ⁴	R ⁵ R ⁶
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	--	0	--	0	CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Br	H	O
CH ₂	1	CH ₂	1	--	0	benzyl	2-fluorophenyl	Cl	H	O
CH ₂	1	--	0	--	0	benzyl	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-chlorophenyl	Cl	H	O
CH ₂	1	CH ₂	2	--	0	CH ₃	2-fluorophenyl	Cl	H	O

Sub A7

X	n	Y	m	Z	p	R ¹	R ²	R ³	R ⁴	R ⁵ R ⁶
CH ₂	1	CH ₂	1	--	0	benzyl	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-pyridyl	Br	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	2	--	0	C(CH ₃) ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	NO ₂	H	O
CH ₂	1	CH ₂	1	--	0	(CH ₂) ₂ CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₂ CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	4-pyridyl-methyl	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	(CH ₂) ₃ CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	(CH ₂) ₃ CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₂ CH-(CH ₃) ₂	2-pyridyl	Cl	H	O
CH ₂	1	--	0	--	0	CH ₂ CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH(CH ₃) ₂	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Cl	CH ₂ CH ₂ N (CH ₂ CH ₃) ₂	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Cl	CH ₃	O
CH ₂	1	--	0	--	0	benzyl	2-fluorophenyl	Cl	CH ₃	O
CH ₂	1	CH ₂	1	--	0	benzyl	2-fluorophenyl	Cl	CH ₂ CH ₂ N (CH ₂ CH ₃) ₂	O
NH	1	CH ₂	1	--	0	CH ₃	2-chlorophenyl	Cl	H	O
NH	1	CH ₂	2	--	0	CH ₃	2-chlorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	--	0	CH ₃	2-fluorophenyl	Cl	H	S
CH ₂	1	CH ₂	1	--	0	CH ₃	2-chlorophenyl	Cl	H	S
CH ₂	1	CH ₂	1	--	0	CH ₃	2-pyridyl	Cl	H	S
CH ₂	1	CH ₂	1	O	1	CH ₃	2-fluorophenyl	Cl	H	O

8. A compound according to claim 1 wherein in each compound W is H and p is 0, and wherein X, n, Y, m, R¹⁻⁵ for each compound are as follows:

X	n	Y	m	R ¹	R ²	R ³	R ⁴	R ⁵ and R ⁶
CH ₂	1	CH ₂	1	CH ₃	2-fluorophenyl	Cl	H	O
CH ₂	1	CH ₂	1	CH ₃	2-fluorophenyl	Br	H	O
CH ₂	1	CH ₂	1	CH ₃	2-pyridyl	Cl	H	O
CH ₂	1	CH ₂	1	CH ₃	2-fluorophenyl	Cl	CH ₃	O

9. A compound according to claim 1 wherein W is H, X is CH₂, n is 1, Y is CH₂, m is 1, p is 0, R¹ is CH₃, R² is 2-fluorophenyl, R³ is Br or Cl, R⁴ is H and R⁵ and R⁶ together is O.

10. A compound according to claim 1 wherein R⁴ and R⁵ together form a double bond in the diazepine ring, R⁶ is the group NHR⁷ and p is zero.

11. A compound according to claim 10, wherein W is H, X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃, R² is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl, R³ is Cl or Br and R⁷ is CH₃, CH₂CH₃, benzyl, 4-pyridylmethyl-, 4-pyridylethyl, CH(CH₃)₂, 4-imidazolylethyl or CH₂CH₂OH.

12. A compound according to claim 10, wherein in each compound W is H, X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃, and wherein R², R³ and R⁷ for each compound are as follows:

R ²	R ³	R ⁷
2-fluorophenyl	Cl	CH ₃
2-pyridyl	Cl	CH ₃
2-fluorophenyl	Cl	CH ₂ CH ₃
2-fluorophenyl	Cl	benzyl
2-fluorophenyl	Cl	4-pyridylmethyl

R ²	R ³	R ⁷
2-fluorophenyl	Cl	4-pyridylethyl
2-fluorophenyl	Cl	CH ₂ CH(CH ₃) ₂
2-fluorophenyl	Cl	2-(4-imidazolyl)ethyl
2-fluorophenyl	Cl	CH ₂ CH ₂ OH
2-fluorophenyl	Br	CH ₃
2-chlorophenyl	Cl	CH ₃

13. A compound according to claim 10, wherein W is H, X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃, R² is 2-fluorophenyl, R³ is chlorine or bromine and R⁷ is methyl.

14. A compound according to claim 10, wherein W is H, X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃, R² is 2-fluorophenyl, R³ is Br or Cl and R⁷ is CH₃.

15. A compound of according to claim 1 wherein p is zero and R⁴, R⁵ and R⁶ together form the group -C(R⁸)=U-V=.

16. A compound according to claim 15 wherein

W is H;

X is CH₂, n is 1;

Y is CH₂, m is 1;

R¹ is CH₃ or CH₂CH(CH₃)₂; R² is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl;

R³ is Cl or Br;

R⁸ is H, CH₃ or CH₂OH;

R⁹ is H, CH₃, CH₂OH or CH₂O-t-butyl;

U is CR⁹ or N; and

V is N or CH.

17. A compound according to claim 15 wherein

W is H;

X is CH₂, n is 1;

Y is CH₂, m is 1;

R¹ is CH₃ or CH₂CH(CH₃)₂; R² is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl; R³ is Cl or Br;

5 R⁸ is H, CH₃ or CH₂OH;

R⁹ is H, CH₃, CH₂OH or CH₂O-t-butyl;

U is CR⁹ or N; and

V is N or CH; provided that when R¹ is CH₂CH(CH₃)₂, then X is CH₂, n is 1, R² is 2-fluorophenyl, R³ is Cl, R⁸ is CH₃, U is N and V is N.

18. A compound according to claim 15, wherein in each compound W is H, X is CH₂, n is 1, Y is CH₂, m is 1 and wherein R¹, R², R³, R⁸, U and V for each compound are as follows:

R ¹	R ²	R ³	R ⁸	U	V
CH ₃	2-fluorophenyl	Cl	H	CH	N
CH ₃	2-fluorophenyl	Cl	CH ₃	CH	N
CH ₃	2-fluorophenyl	Cl	H	C-CH ₃	N
CH ₃	2-fluorophenyl	Cl	H	C-CH ₂ OH	N
CH ₃	2-fluorophenyl	Cl	CH ₂ OH	CH	N
CH ₃	2-pyridyl	Cl	H	CH	N
CH ₃	2-pyridyl	Cl	CH ₃	CH	N
CH ₃	2-pyridyl	Br	CH ₃	CH	N
CH ₃	2-pyridyl	Br	H	C-CH ₃	N
CH ₃	2-pyridyl	Cl	H	C-CH ₃	N
CH ₃	2-pyridyl	Cl	H	CH ₂ OH	N
CH ₃	2-pyridyl	Cl	CH ₂ OH	CH	N
CH ₃	2-pyridyl	Cl	CH ₃	C-CH ₃	N
CH ₃	2-chlorophenyl	Cl	CH ₃	N	N
CH ₃	2-fluorophenyl	Cl	CH ₃	N	N

R ¹	R ²	R ³	R ⁸	U	V
CH ₂ CH(CH ₃) ₂	2-fluorophenyl	Cl	CH ₃	N	N
CH ₃	2-fluorophenyl	Cl	H	N	CH
CH ₃	2-fluorophenyl	Cl	CH ₃	N	CH
CH ₃	2-fluorophenyl	Cl	H	C-CH ₂ O-t-butyl	N
CH ₃	2-pyridyl	Cl	CH ₃	C-CH ₂ OH	N

19. A compound according to claim 15, wherein W is H, X is CH₂, n is 1, Y is CH₂, m is 1 and wherein R¹, R², R³, R⁸, U and V for each compound are as follows:

R ¹	R ²	R ³	R ⁸	U	V
CH ₃	2-pyridyl	Br	CH ₃	CH	N
CH ₃	2-pyridyl	Cl	CH ₃	CH	N
CH ₃	2-fluorophenyl	Cl	CH ₃	N	CH
CH ₃	2-pyridyl	Br	H	C-CH ₃	N

20. A compound according to claim 15, wherein W is H, X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃, R² is 2-pyridyl, R³ is Br or Cl, R⁸ is CH₃, U is CH and V is N.

21. A pharmaceutical formulation comprising a pharmaceutically acceptable carrier and an effective amount of a compound of claim 1.

22. A pharmaceutical formulation comprising a pharmaceutically acceptable carrier and an effective amount of a compound of claim 10.

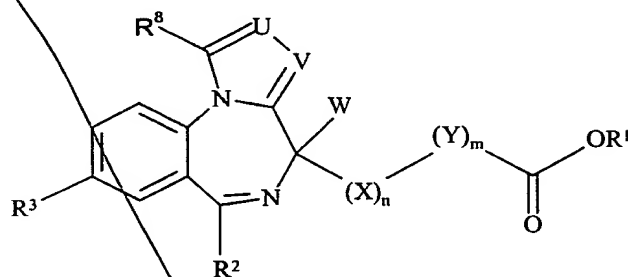
23. A pharmaceutical formulation comprising a pharmaceutically acceptable carrier and an effective amount of a compound of claim 15.

24. A method of producing sedation or hypnosis, inducing anxiolysis, inducing muscle relaxation in a mammal or treating convulsions in a mammal which comprises administering to the mammal an effective amount of a compound of claim 1.

25. A method of producing sedation or hypnosis, inducing anxiolysis, inducing muscle relaxation in a mammal or treating convulsions in a mammal which comprises administering to the mammal an effective amount of a compound of claim 10.

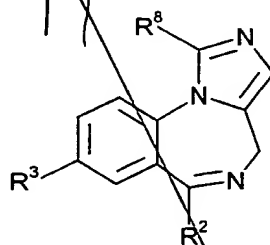
26. A method of producing sedation or hypnosis, inducing anxiolysis, inducing muscle relaxation in a mammal or treating convulsions in a mammal which comprises administering to the mammal an effective amount of a compound of claim 15.

27. A process for preparing a compound of formula (1c)



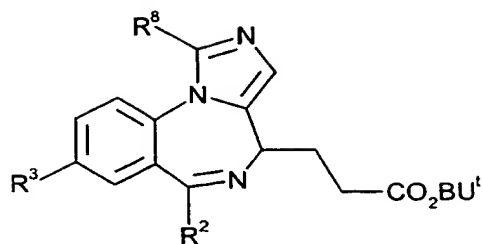
Formula (1c)

wherein W is H, X and Y are CH₂, n and m are 1, U is N, and V is CH which process comprises reacting a compound of Formula (M)



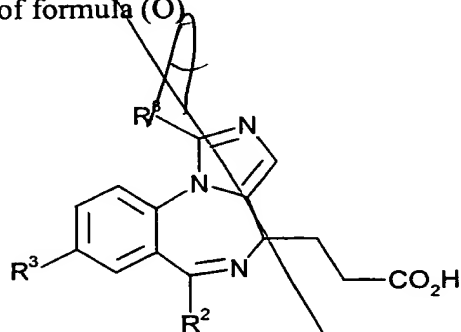
(M)

wherein R², R³ and R⁸ are as defined in claim 15 with a strong base and wherein the resultant anion from treatment with said strong base is treated with a suitable Michael acceptor and wherein the resultant ester adduct from treatment with said Michael acceptor, a compound of Formula (N)



(N)

wherein R^2 , R^3 and R^8 are as defined in claim 15, is reacted with a strong acid and the resultant carboxylic acid of formula (O)



(O)

wherein R^2 , R^3 and R^8 are as defined in claim 15, is esterified by base-mediated alkylation with an alkyl halide (R^1 halide) to provide the corresponding compound of formula (1c).

28. Methyl 3-[(3*S*)-7-chloro-5-(2-fluorophenyl)-2-oxo-2,3-dihydro-1*H*-1,4-benzodiazepin-3-yl]propanoate or a pharmaceutically acceptable salt or solvate thereof.

29. Methyl 3-[(3*S*)-7-chloro-5-(2-fluorophenyl)-2-(methylamino)-3*H*-1,4-benzodiazepin-3-yl]propanoate or a pharmaceutically acceptable salt or solvate thereof.

30. Methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate or a pharmaceutically acceptable salt or solvate thereof.

add
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